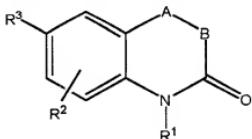


What is Claimed:

1. A method of contraception, which comprises administering to a female of child bearing age over a period of 28 consecutive days:

- a) a first phase of from 18 to 21 daily dosage units of a progestational agent equal in progestational activity to about 35 to about 150 µg levonorgestrel, and ethinyl estradiol at a daily dose range of from about 10 to about 35 µg;
- b) a second phase of from 1 to 7 daily dosage units of a daily dose of from about 2 to 50 mg of an antiprogestin of the formula:



I

wherein:

A is O, S, or NR⁴;

B is a bond between A and C=O, or the moiety CR⁵R⁶;

R⁴, R⁵, and R⁶ are independently selected from the group consisting of H, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₂ to C₆ alkenyl, substituted C₂ to C₆ alkenyl, C₂ to C₆ alkynyl, substituted C₂ to C₆ alkynyl, C₃ to C₈ cycloalkyl, substituted C₃ to C₈ cycloalkyl, aryl, substituted aryl, heterocyclic, and substituted heterocyclic;

or R⁴ and R⁵ are fused to form a 5 to 7 membered ring;

R¹ is selected from the group consisting of H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₃ to C₆ alkenyl, substituted C₃ to C₆ alkenyl, alkynyl, substituted alkynyl, and COR^A;

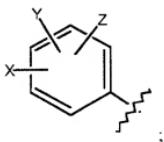
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R^A is selected from the group consisting of H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, and substituted C₁ to C₃ aminoalkyl;

R² is selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₁ to C₆ alkoxy, substituted C₁ to C₆ alkoxy, C₁ to C₆ aminoalkyl, and substituted C₁ to C₆ aminoalkyl;

R³ is selected from the group consisting of (i) and (ii):

- (i) a substituted benzene ring having the substituents X, Y and Z and of the formula:



X is selected from the group consisting of halogen, CN, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ thioalkoxy, substituted C₁ to C₃ thioalkoxy, C₁ to C₃ aminoalkyl, substituted C₁ to C₃ aminoalkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 or 6 membered heterocyclic ring having in its backbone 1 to 3 heteroatoms, COR^B, OCOR^B, and NR^CCOR^B;

R^B is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^C is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy; and

- (ii) a five or six membered ring having its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O S, SO, SO₂ and NR⁷ and having

one or two independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkyl, C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, COR^D, and NR^ECOR^D;

R^D is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^E is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

R⁷ is H or C₁ to C₃ alkyl;

or a pharmaceutically acceptable salt thereof; and

c) optionally, an orally and pharmaceutically acceptable placebo for each remaining day of the 28 consecutive days.

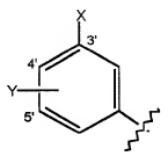
2. The method according to Claim 1, wherein the progestational agent is levonorgestrel and wherein:

R¹ is H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, or COR^A;

R^A is H, C₁ to C₃ alkyl, or C₁ to C₃ alkoxy;

R² is H, halogen, NO₂, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

R³ is the substituted benzene ring having the substituents X and Y and of the structure:



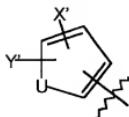
wherein:

X is selected from the group consisting of halogen, CN, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 membered heterocyclic ring having in its backbone 1 to 3 heteroatoms, and C₁ to C₃ thioalkoxy;

Y is on the 4' or 5' position and is selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy.

3. The method according to Claim 1, wherein the progestational agent is levonorgestrel and wherein:

R³ is the five membered ring of the structure:



wherein:

U is O, S, or NR⁷;

X' is selected from the group consisting of halogen, CN, NO₂, C₁ to C₃ alkyl and C₁ to C₃ alkoxy;

Y' is H or C₁ to C₃ alkyl.

4. The method according to Claim 1, wherein the progestational agent is levonorgestrel and wherein:

R³ is the six membered ring of the structure:



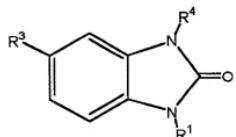
wherein:

X¹ is N or CX²;

X² is halogen, CN or NO₂.

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5. The method according to Claim 1, wherein the progestational agent is levonorgestrel and the antiprogestin compound has the structure:

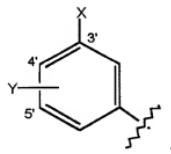


wherein:

R^A is selected from the group consisting of H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, and substituted C₁ to C₃ aminoalkyl;

R^4 is H, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₂ to C₆ alkenyl, substituted C₂ to C₆ alkenyl, C₂ to C₆ alkynyl, substituted C₂ to C₆ alkynyl, C₃ to C₈ cycloalkyl, substituted C₃ to C₈ cycloalkyl, aryl, or substituted aryl, wherein said aryl is benzyl; and

R^3 is the substituted benzene ring having the structure:



wherein:

X is selected from the group consisting of halogen, CN, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, NO₂, C₁ to C₃ perfluoroalkyl, and C₁ to C₃ thioalkoxy;

Y is on the 4' or 5' position and is selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy.

6. The method according to Claim 1 wherein the antiprogestin is 1-Benzyl-6-(3-chloro-phenyl)-1,3-dihydro-benzoimidazol-2-one or a pharmaceutically acceptable salt thereof.

7. The method according to Claim 1 wherein the antiprogestin is 1-Benzyl-6-(3-nitro-phenyl)-1,3-dihydro-benzoimidazol-2-one or a pharmaceutically acceptable salt thereof.

8. The method according to Claim 1, wherein the antiprogestin is 1-Methyl-6-(3-nitro-phenyl)-1,3-dihydro-benzoimidazol-2-one or a pharmaceutically acceptable salt thereof.

9. The method according to Claim 1 wherein the antiprogestin is 6-(3-chloro-phenyl)-1-methyl-1,3-dihydro-benzoimidazol-2-one or a pharmaceutically acceptable salt thereof.

10. The method according to Claim 1 wherein the antiprogestin is 5-(3-Nitro-phenyl)-1,3-dihydro-benzoimidazol-2-one or a pharmaceutically acceptable salt thereof.

11. The method according to Claim 1 wherein the antiprogestin is 6-(3-Nitro-phenyl)-3H-benzoxazol-2-one or a pharmaceutically acceptable salt thereof.

12. The method according to Claim 1 wherein the antiprogestin is 6-(3-Nitro-phenyl)-3H-benzothiazol-2-one or a pharmaceutically acceptable salt thereof.

13. The method according to Claim 1 wherein the antiprogestin is 6-(3-Chloro-phenyl)-3H-benzothiazol-2-one or a pharmaceutically acceptable salt thereof.

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14. The method according to Claim 1 wherein the antiprogestin is 7-(3-Nitro-phenyl)-4H-benzo[1,4]thiazin-3-one or a pharmaceutically acceptable salt thereof.

15. The method according to Claim 1 wherein the antiprogestin is 2-Ethyl-7-(3-nitro-phenyl)-4H-benzo[1,4]thiazin-3-one or a pharmaceutically acceptable salt thereof.

16. The method according to Claim 1 wherein the antiprogestin is 8-(3-Chloro-phenyl)-1,2,3,3a-tetrahydro-5H-pyrrolo[1,2-a]quinoxalin-4-one or a pharmaceutically acceptable salt thereof.

17. The method according to Claim 1 wherein the antiprogestin is 6-(3-Chloro-phenyl)-4-methyl-3,4-dihydro-1H-quinoxalin-4-one or a pharmaceutically acceptable salt thereof.

18. The method according to Claim 1 wherein the antiprogestin is 5-(3, 4-Dihydro-4-methyl-2-oxo-quinoxalin-6-yl) thiophene-3-carbonitrile or a pharmaceutically acceptable salt thereof.

19. The method according to Claim 1 wherein the antiprogestin is 4-(*n*-Butyl)-6-(3-chloro-phenyl)-3,4-dihydro-1H quinoxalin-2-one or a pharmaceutically acceptable salt thereof.

20. The method according to Claim 1 wherein the antiprogestin is 6-(3-Cyano-5-fluorophenyl)-4-isopropyl-3,4-dihydro-1H-quinoxalin-2-one or a pharmaceutically acceptable salt thereof.

21. The method according to Claim 1 wherein the antiprogestin is 6-(3-Chloro-4-fluoro-phenyl)-4-isopropyl-3,4-dihydro-1H-quinoxalin-2-one or a pharmaceutically acceptable salt thereof.

22. The method according to Claim 1 wherein the antiprogestin is 6-(3-Chloro-phenyl)-4-isopropyl-3,4-dihydro-1H-quinoxalin-2-one or a pharmaceutically acceptable salt thereof.

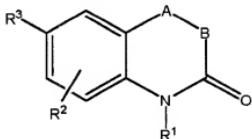
23. The method according to Claim 1 wherein the progestational agent is selected from the group consisting of levonorgestrel, norgestrel, desogestrel, 3-ketodesogestrel, norethindrone, gestodene, norethindrone acetate, norgestimate, osaterone, cyproterone acetate, trimegestone, dienogest, drospirenone, nomegestrol, and (17-deacetyl)norgestimate.

24. The method of contraception according to Claim 1, which comprises administering to a female of child bearing age over a period of 28 consecutive days:

- a) a first phase of 21 daily dosage units of a progestational agent equal in progestational activity to about 35 to about 100 µg levonorgestrel and ethinyl estradiol at a daily dose range of from about 10 to about 35 µg;
- b) a second phase of 3 daily dosage units of the antiprogestin of formula I at a daily dose of from about 2 to 50 mg; and
- c) optionally, a third phase of 4 daily dosage units of an orally and pharmaceutically acceptable placebo.

25. A method of contraception, which comprises administering to a female of child bearing age over a period of 28 consecutive days:

- a) a first phase of from 18 to 21 daily dosage units containing a progestational agent at a daily dose equal in progestational activity to from about 35 to about 150 µg levonorgestrel and ethinyl estradiol at a daily dose range of from about 10 to about 35 µg;
- b) a second phase of from 1 to 7 daily dosage units, each daily dosage unit containing an antiprogestin of formula I at a concentration of from 2 to 50 mg and ethinyl estradiol at a concentration of from about 10 to about 35 µg, wherein formula I is:



wherein:

A is O, S, or NR⁴;

B is a bond between A and C=O, or the moiety CR⁵R⁶;

R⁴, R⁵, and R⁶ are independently selected from the group consisting of H, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₂ to C₆ alkenyl, substituted C₂ to C₆ alkenyl, C₂ to C₆ alkynyl, substituted C₂ to C₆ alkynyl, C₃ to C₈ cycloalkyl, substituted C₃ to C₈ cycloalkyl, aryl, substituted aryl, heterocyclic, and substituted heterocyclic;

or R⁴ and R⁵ are fused to form a 5 to 7 membered ring;

R¹ is selected from the group consisting of H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₃ to C₆ alkenyl, substituted C₃ to C₆ alkenyl, alkynyl, substituted alkynyl, and COR^A;

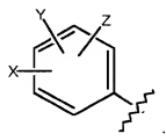
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R^A is selected from the group consisting of H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, and substituted C₁ to C₃ aminoalkyl;

R² is selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₁ to C₆ alkoxy, substituted C₁ to C₆ alkoxy, C₁ to C₆ aminoalkyl, and substituted C₁ to C₆ aminoalkyl;

R³ is selected from the group consisting of (i) and (ii):

- (i) a substituted benzene ring having the substituents X, Y and Z of the formula:



X is selected from the group consisting of halogen, CN, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ thioalkoxy, substituted C₁ to C₃ thioalkoxy, C₁ to C₃ aminoalkyl, substituted C₁ to C₃ aminoalkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 or 6 membered heterocyclic ring having in its backbone 1 to 3 heteroatoms, COR^B, OCOR^B, and NR^CCOR^B;

R^B is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^C is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy; and

- (ii) a five or six membered ring having its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O S, SO, SO₂ and NR⁷ and having

one or two independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkyl, C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, COR^D, and NR^ECOR^D;

R^D is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^E is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

R⁷ is H or C₁ to C₃ alkyl;

or a pharmaceutically acceptable salt thereof; and

c) optionally, a third phase of daily dosage units of an orally and pharmaceutically acceptable placebo, the total of the daily dosage units being 28.

26. The method of contraception according to Claim 25, which comprises administering to a female of child bearing age over a period of 28 consecutive days:

a) a first phase of 21 daily dosage units, each daily dosage unit containing a progestational agent at a daily dose equal in progestational activity to about 35 to about 100 µg levonorgestrel and ethinyl estradiol at a daily dose range of from about 10 to about 35 µg;

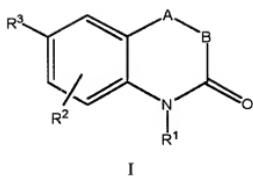
b) a second phase of 3 daily dosage units, each daily dosage unit containing the antiprogestin of formula I at a concentration of from 2 to 50 mg and ethinyl estradiol at a concentration of from about 10 to about 35 µg; and

c) optionally, a third phase of 4 daily dosage units of an orally and pharmaceutically acceptable placebo.

27. A pharmaceutically useful kit adapted for daily oral administration, which comprises:

a) a first phase of from 14 to 21 daily dosage units of a progestational agent equal in progestational activity to about 35 to about 150 µg levonorgestrel;

b) a second phase of from 1 to 11 daily dosage units of an antiprogestin compound of formula I;



wherein:

A is O, S, or NR⁴;

B is a bond between A and C=O, or the moiety CR⁵R⁶;

R⁴, R⁵, and R⁶ are independently selected from the group consisting of H, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₂ to C₆ alkenyl, substituted C₂ to C₆ alkenyl, C₂ to C₆ alkynyl, substituted C₂ to C₆ alkynyl, C₃ to C₈ cycloalkyl, substituted C₃ to C₈ cycloalkyl, aryl, substituted aryl, heterocyclic, and substituted heterocyclic;

or R⁴ and R⁵ are fused to form a 5 to 7 membered ring;

R¹ is selected from the group consisting of H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₃ to C₆ alkenyl, substituted C₃ to C₆ alkenyl, alkynyl, substituted alkynyl, and COR^A,

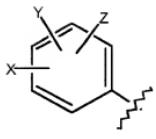
R^A is selected from the group consisting of H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, and substituted C₁ to C₃ aminoalkyl;

R² is selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₁ to C₆ alkoxy, substituted C₁ to C₆ alkoxy, C₁ to C₆ aminoalkyl, and substituted C₁ to C₆ aminoalkyl;

R³ is selected from the group consisting of (i) and (ii):

(i) a substituted benzene ring having the substituents X, Y and Z of the formula:

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X is selected from the group consisting of halogen, CN, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ thioalkoxy, substituted C₁ to C₃ thioalkoxy, C₁ to C₃ aminoalkyl, substituted C₁ to C₃ aminoalkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 or 6 membered heterocyclic ring having in its backbone 1 to 3 heteroatoms, COR^B, OCOR^B, and NR^CCOR^B;

R^B is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^C is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy; and

(ii) a five or six membered ring having in its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O S, SO, SO₂ and NR⁷ and having one or two independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkyl, C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, COR^D, and NR^ECOR^D,

R^D is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^E is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

R⁷ is H or C₁ to C₃ alkyl;

or a pharmaceutically acceptable salt thereof

wherein each daily dosage unit contains the antiprogestin compound at a daily dosage of from about 2 to 50 mg; and

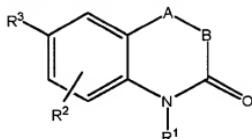
c) a third phase of an orally and pharmaceutically acceptable placebo; wherein the total number of the daily dosage units in the first phase, second phase and third phase equals 28.

28. The pharmaceutically useful kit according to Claim 27, which comprises:

- a) a first phase of 21 daily dosage units of a progestational agent equal in progestational activity to about 35 to about 150 µg levonorgestrel;
- b) a second phase of 3 daily dosage units of the antiprogestin compound of formula I, each daily dosage unit containing the antiprogestin compound at a daily dosage of from about 2 to 50 mg; and
- c) a third phase of 4 daily dosage units of an orally and pharmaceutically acceptable placebo.

29. A pharmaceutically useful kit adapted for daily oral administration, which comprises:

- a) a first phase of from 18 to 21 daily dosage units of a progestational agent equal in progestational activity to about 35 to about 150 µg levonorgestrel and ethinyl estradiol at a daily dose range of from about 10 to about 35 µg;
- b) a second phase of from 1 to 7 daily dosage units of an antiprogestin of formula I at a daily dose of from about 2 to 50 mg, wherein formula I is:



I

wherein:

A is O, S, or NR⁴;

B is a bond between A and C=O, or the moiety CR³R⁵;

R⁴, R⁵, and R⁶ are independently selected from the group consisting of H, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₂ to C₆ alkenyl, substituted C₂ to C₆ alkenyl, C₂ to C₆ alkynyl, substituted C₂ to C₆ alkynyl, C₃ to C₈ cycloalkyl, substituted C₃ to C₈ cycloalkyl, aryl, substituted aryl, heterocyclic, and substituted heterocyclic;

or R⁴ and R⁵ are fused to form a 5 to 7 membered ring;

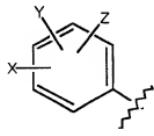
R¹ is selected from the group consisting of H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₃ to C₆ alkenyl, substituted C₃ to C₆ alkenyl, alkynyl, substituted alkynyl, and COR^A;

R^A is selected from the group consisting of H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, and substituted C₁ to C₃ aminoalkyl;

R² is selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₁ to C₆ alkoxy, substituted C₁ to C₆ alkoxy, C₁ to C₆ aminoalkyl, and substituted C₁ to C₆ aminoalkyl;

R³ is selected from the group consisting of (i) and (ii):

- (i) a substituted benzene ring having the substituents X, Y and Z of the formula:



X is selected from the group consisting of halogen, CN, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ thioalkoxy, substituted C₁ to C₃ thioalkoxy, C₁ to C₃ aminoalkyl, substituted C₁ to C₃ aminoalkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 or 6 membered heterocyclic ring having in its backbone 1 to 3 heteroatoms, COR^B, OCOR^B, and NR^CCOR^B,

R^B is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^C is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy; and

(ii) a five or six membered ring having its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O S, SO, SO₂ and NR⁷ and having one or two independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkyl, C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, COR^D, and NR^ECOR^D,

R^D is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^E is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

R⁷ is H or C₁ to C₃ alkyl;

or a pharmaceutically acceptable salt thereof; and

c) a third phase of from 0 to 9 daily dosage units of an orally and pharmaceutically acceptable placebo;

wherein the total number of the daily dosage units in the first phase, second phase and third phase equals 28.

30. The pharmaceutically useful kit according to Claim 29, which comprises:

a) a first phase of 21 daily dosage units of a progestational agent equal in progestational activity to about 35 to about 150 µg levonorgestrel and ethinyl estradiol at a daily dose range of from about 10 to about 35 µg;

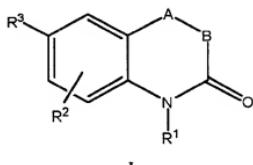
b) a second phase of 3 daily dosage units of the antiprogestin of formula I administered at a daily dose of from about 2 to 50 mg; and

c) a third phase of 4 daily dosage units of an orally and pharmaceutically acceptable placebo.

31. A pharmaceutically useful kit adapted for daily oral administration, which comprises:

a) a first phase of from 18 to 21 daily dosage units, each daily dosage unit comprising a progestational agent at a daily dose equal in progestational activity to from about 35 to about 150 µg levonorgestrel and ethynodiol diacetate at a daily dose range of from about 10 to about 35 µg;

b) a second phase of from 1 to 7 daily dosage units, each daily dosage unit containing an antiprogestin of formula I at a concentration of from 2 to 50 mg; and ethynodiol diacetate at a concentration of from about 10 to about 35 µg, wherein formula I is:



wherein:

A is O, S, or NR⁴;

B is a bond between A and C=O, or the moiety CR⁵R⁶;

R⁴, R⁵, and R⁶ are independently selected from the group consisting of H, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₂ to C₆ alkenyl, substituted C₂ to C₆ alkenyl, C₂ to C₆ alkynyl, substituted C₂ to C₆ alkynyl, C₃ to C₈ cycloalkyl, substituted C₃ to C₈ cycloalkyl, aryl, substituted aryl, heterocyclic, and substituted heterocyclic;

or R⁴ and R⁵ are fused to form a 5 to 7 membered ring;

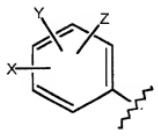
R^1 is selected from the group consisting of H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₃ to C₆ alkenyl, substituted C₃ to C₆ alkenyl, alkynyl, substituted alkynyl, and COR^A;

R^A is selected from the group consisting of H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, and substituted C₁ to C₃ aminoalkyl;

R^2 is selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₁ to C₆ alkoxy, substituted C₁ to C₆ alkoxy, C₁ to C₆ aminoalkyl, and substituted C₁ to C₆ aminoalkyl;

R^3 is selected from the group consisting of (i) and (ii):

- (i) a substituted benzene ring having the substituents X, Y and Z of the formula:



X is selected from the group consisting of halogen, CN, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ thioalkoxy, substituted C₁ to C₃ thioalkoxy, C₁ to C₃ aminoalkyl, substituted C₁ to C₃ aminoalkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 or 6 membered heterocyclic ring having in its backbone 1 to 3 heteroatoms, COR^B, OCOR^B, and NR^CCOR^B,

R^B is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^C is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy; and

(ii) a five or six membered ring having it its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O S, SO, SO₂ and NR⁷ and having one or two independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkyl, C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, COR^D, and NR^ECOR^D, R^D is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^E is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

R⁷ is H or C₁ to C₃ alkyl;

or a pharmaceutically acceptable salt thereof; and

c) a third phase of from 0 to 9 daily dosage units of an orally and pharmaceutically acceptable placebo;

wherein the total number of the daily dosage units in the first phase, second phase and third phase equals 28.

32. The pharmaceutically useful kit according to Claim 31, which comprises:

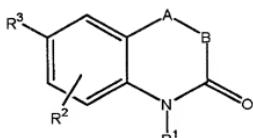
a) a first phase of 21 daily dosage units of a progestational agent equal in progestational activity to about 35 to about 150 µg levonorgestrel and ethinyl estradiol at a daily dose range of from about 10 to about 35 µg;

b) a second phase of 3 daily dosage units, each daily dosage unit containing the antiprogestin of formula I at a concentration of from 2 to 50 mg and ethinyl estradiol at a concentration of from about 10 to about 35 µg; and

c) a third phase of 4 daily dosage units of an orally and pharmaceutically acceptable placebo.

33. A method of contraception, which comprises administering to a female of child bearing age over a period of 28 consecutive days:

- a) a first phase of from 18 to 21 daily dosage units of a progestational agent equal in progestational activity to about 35 to about 150 µg levonorgestrel, and ethinyl estradiol at a daily dose range of from about 10 to about 35 µg;
- b) a second phase of from 1 to 7 daily dosage units of a daily dose of from about 2 to 50 mg of an antiprogestin of the formula:



I

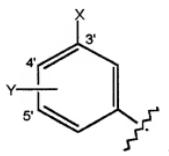
wherein:

R¹ is H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, or COR^A,

R^A is H, C₁ to C₄ alkyl, or C₁ to C₄ alkoxy;

R² is H, halogen, NO₂, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

R³ is the substituted benzene ring having the substituents X and Y:



wherein:

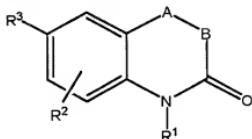
X is selected from the group consisting of halogen, CN, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 membered heterocyclic ring having in its backbone 1 to 3 heteroatoms, and C₁ to C₃ thioalkoxy;

Y is on the 4' or 5' position and is selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₄ alkyl, and C₁ to C₃ thioalkoxy; or a pharmaceutically acceptable salt thereof; and

c) optionally, an orally and pharmaceutically acceptable placebo for each remaining day of the 28 consecutive days.

34. A method of contraception, which comprises administering to a female of child bearing age over a period of 28 consecutive days:

- a) a first phase of from 18 to 21 daily dosage units of a progestational agent equal in progestational activity to about 35 to about 150 µg levonorgestrel, and ethinyl estradiol at a daily dose range of from about 10 to about 35 µg;
- b) a second phase of from 1 to 7 daily dosage units of a daily dose of from about 2 to 50 mg of an antiprogestin of the formula:



wherein:

A is O, S, or NR⁴;

B is a bond between A and C=O, or the moiety CR⁵R⁶;

R⁴, R⁵, and R⁶ are independently selected from the group consisting of H, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₂ to C₆ alkenyl, substituted C₂ to C₆ alkenyl, C₂ to C₆ alkynyl, substituted C₂ to C₆ alkynyl, C₃ to C₈ cycloalkyl, substituted C₃ to C₈ cycloalkyl, aryl, substituted aryl, heterocyclic, and substituted heterocyclic;

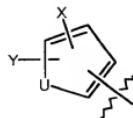
or R⁴ and R⁵ are fused to form a 5 to 7 membered ring;

R¹ is selected from the group consisting of H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₃ to C₆ alkenyl, substituted C₃ to C₆ alkenyl, alkynyl, substituted alkynyl, and COR^A,

R^A is selected from the group consisting of H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, and substituted C₁ to C₃ aminoalkyl;

R² is selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₁ to C₆ alkoxy, substituted C₁ to C₆ alkoxy, C₁ to C₆ aminoalkyl, and substituted C₁ to C₆ aminoalkyl;

R³ is the five membered ring of the structure:



wherein:

U is O, S, or NR⁷;

X' is selected from the group consisting of halogen, CN, NO₂, C₁ to C₃ alkyl and C₁ to C₃ alkoxy;

Y' is H or C₁ to C₄ alkyl;

or a pharmaceutically acceptable salt thereof; and

c) optionally, an orally and pharmaceutically acceptable placebo for each remaining day of the 28 consecutive days.